

101643,476

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LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 May 12 EXTEND option available in structure searching
NEWS 4 May 12 Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5 May 27 New UPM (Update Code Maximum) field for more efficient patent
SDIs in CAplus
NEWS 6 May 27 CAplus super roles and document types searchable in REGISTRY
NEWS 7 Jun 28 Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8 Jun 28 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
and WATER from CSA now available on STN(R)
NEWS 9 Jul 12 BEILSTEIN enhanced with new display and select options,
resulting in a closer connection to BABS
NEWS 10 Jul 30 BEILSTEIN on STN workshop to be held August 24 in conjunction
with the 228th ACS National Meeting
NEWS 11 AUG 02 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
fields
NEWS 12 AUG 02 CAplus and CA patent records enhanced with European and Japan
Patent Office Classifications
NEWS 13 AUG 02 STN User Update to be held August 22 in conjunction with the
228th ACS National Meeting
NEWS 14 AUG 02 The Analysis Edition of STN Express with Discover!
(Version 7.01 for Windows) now available
NEWS 15 AUG 04 Pricing for the Save Answers for SciFinder Wizard within
STN Express with Discover! will change September 1, 2004

NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN Welcome Banner and News Items
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NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:12:35 ON 11 AUG 2004

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'STNGUIDE' ENTERED AT 09:12:53 ON 11 AUG 2004

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Aug 6, 2004 (20040806/UP).

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.06	0.27

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 09:13:05 ON 11 AUG 2004

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STRUCTURE FILE UPDATES: 10 AUG 2004 HIGHEST RN 725210-23-1

DICTIONARY FILE UPDATES: 10 AUG 2004 HIGHEST RN 725210-23-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

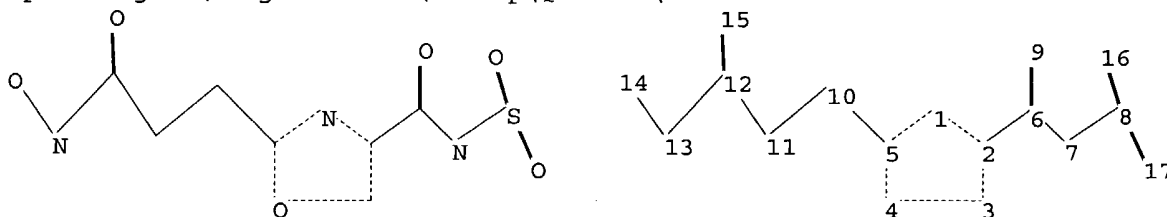
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10643476.str



chain nodes :

6 7 8 9 10 11 12 13 14 15 16 17

ring nodes :

1 2 3 4 5

chain bonds :

2-6 5-10 6-7 6-9 7-8 8-16 8-17 10-11 11-12 12-13 12-15 13-14
 ring bonds :
 1-2 1-5 2-3 3-4 4-5
 exact/norm bonds :
 1-2 1-5 2-3 3-4 4-5 6-7 6-9 7-8 8-16 8-17 12-13 12-15 13-14
 exact bonds :
 2-6 5-10 10-11 11-12

Match level :

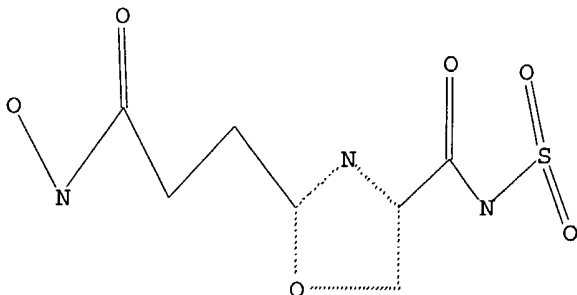
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:13:30 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 6 TO 266
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 09:13:36 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 121 TO ITERATE

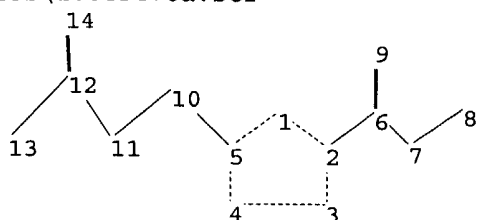
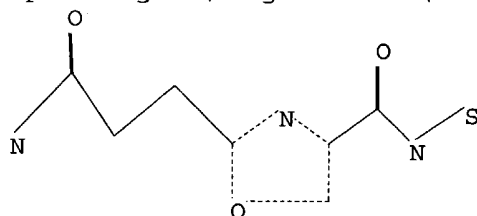
100.0% PROCESSED 121 ITERATIONS
 SEARCH TIME: 00.00.01

0 ANSWERS

L3 0 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10643476a.str



chain nodes :

6 7 8 9 10 11 12 13 14

ring nodes :

1 2 3 4 5

chain bonds :

2-6 5-10 6-7 6-9 7-8 10-11 11-12 12-13 12-14

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-9 7-8 12-13 12-14

exact bonds :

2-6 5-10 10-11 11-12

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS

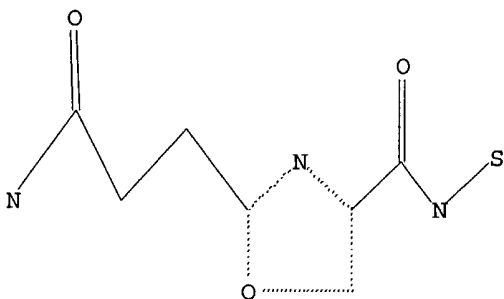
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 09:15:50 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED

6 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 6 TO 266
 PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s l4 sss full

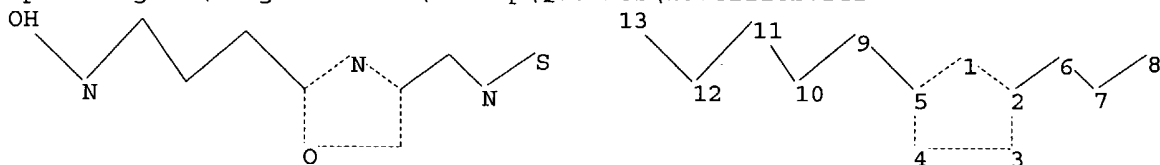
FULL SEARCH INITIATED 09:15:56 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 121 TO ITERATE

100.0% PROCESSED 121 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

L6 0 SEA SSS FUL L4

=>

Uploading C:\Program Files\Stnexp\Queries\10741116b.str



chain nodes :

6 7 8 9 10 11 12 13

ring nodes :

1 2 3 4 5

chain bonds :

2-6 5-9 6-7 7-8 9-10 10-11 11-12 12-13

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 6-7 7-8 11-12 12-13

exact bonds :

2-6 5-9 9-10 10-11

isolated ring systems :

containing 1 :

Match level :

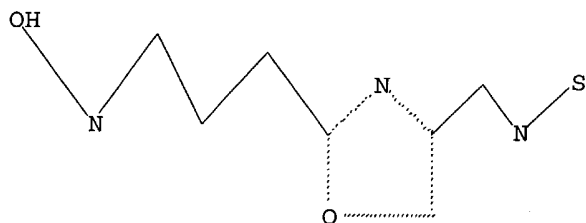
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
 10:CLASS 11:CLASS 12:CLASS 13:CLASS

L7 STRUCTURE UPLOADED

=> d l7

L7 HAS NO ANSWERS

L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 09:18:08 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 3 TO 163

PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s 17 sss full

FULL SEARCH INITIATED 09:18:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS

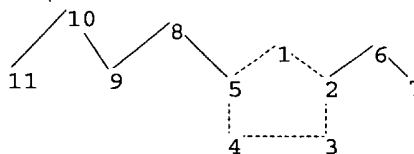
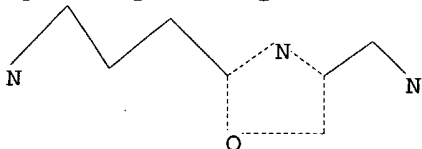
SEARCH TIME: 00.00.01

0 ANSWERS

L9 0 SEA SSS FUL L7

=>

Uploading C:\Program Files\Stnexp\Queries\10741116c.str



chain nodes :

6 7 8 9 10 11

ring nodes :

1 2 3 4 5

chain bonds :

2-6 5-8 6-7 8-9 9-10 10-11

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 6-7 10-11

exact bonds :

2-6 5-8 8-9 9-10

isolated ring systems :

containing 1 :

Match level :

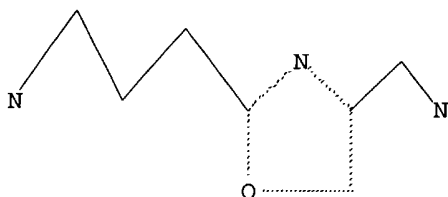
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS

L10 STRUCTURE UPLOADED

=> d l10

L10 HAS NO ANSWERS

L10 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l10

SAMPLE SEARCH INITIATED 09:19:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 50 TO ITERATE

100.0% PROCESSED 50 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 576 TO 1424
PROJECTED ANSWERS: 1 TO 80

L11 1 SEA SSS SAM L10

=> s l10 sss full

FULL SEARCH INITIATED 09:19:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 682 TO ITERATE

100.0% PROCESSED 682 ITERATIONS
SEARCH TIME: 00.00.01

18 ANSWERS

L12 18 SEA SSS FUL L10

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
624.62	624.89

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 09:19:26 ON 11 AUG 2004
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FILE COVERS 1907 - 11 Aug 2004 VOL 141 ISS 7
FILE LAST UPDATED: 10 Aug 2004 (20040810/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l12
L13

2 L12

=> d l13 ibib abs hitstr tot

L13 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:487539 CAPLUS

DOCUMENT NUMBER: 137:63245

TITLE: Preparation of 3-oxa(di)azolypropanohydroxamic acids as procollagen c-proteinase inhibitors for treatment of wounds

INVENTOR(S): Datta, Usa; Fish, Paul Vincent; James, Kim; Whitlock, Gavin Alistair

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050046	A1	20020627	WO 2001-IB2360	20011207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023107	A5	20020701	AU 2002-23107	20011207
EP 1343771	A1	20030917	EP 2001-271107	20011207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016412	A	20031230	BR 2001-16412	20011207
JP 2004521098	T2	20040715	JP 2002-551543	20011207
US 2002151535	A1	20021017	US 2001-21721	20011212
US 6716861	B2	20040406		

US 2004142986
PRIORITY APPLN. INFO.:

A1

20040722

US 2003-731707

20031209

GB 2000-31321

A 20001221

US 2001-262355P

P 20010117

WO 2001-IB2360

W 20011207

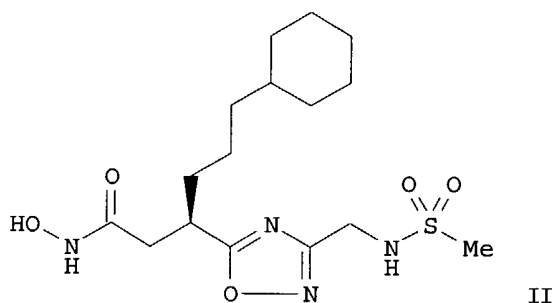
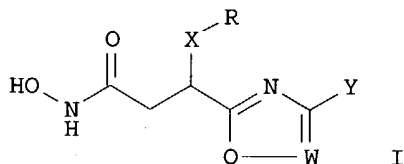
US 2001-21721

A3 20011212

OTHER SOURCE(S):

MARPAT 137:63245

GI



AB Title compds. I [wherein X = alkylene or alkenylene optionally F substituted; R = aryl cycloalkyl optionally F substituted; W = N or CZ; Y = NR1R3, or (un)substituted aminoalkyl or N-heterocyclyl; Z = H or alkyl with provisos; R1 and R3 = independently H or alkyl optionally substituted by (un)substituted amino, OH, or alkoxy; and pharmaceutically acceptable salts, solvates, and prodrugs thereof] were prepared as inhibitors of procollagen C-proteinase (PCP), essential in the production of collagen. For example, N-(cyanomethyl)methanesulfonamide was treated with aqueous hydroxylamine to give (1Z)-N'-hydroxy-2-[(methylsulfonyl)amino]ethanimidamide (87%). Addition of the glutarate (2R)-2-(2-tert-butoxy-2-oxoethyl)-5-cyclohexylpentanoate (100%), followed by cyclization in the presence of Al2O3 (50%) and deesterification (87%), afforded the 1,2,4-oxadiazol-5-ylhexanoic acid derivative. Reaction with EtOCOCl and HONH2 in THF and ether provided the desired N-hydroxy-1,2,4-oxadiazol-5-ylhexanamide II (98%). Seventy-two compds. of the invention were prepared by similar methods and inhibited PCP in a fluorogenic cleavage assay with IC50 values of 0.5 μ M or less. Preferred compds. are also selective against the matrix metalloproteases (MMPs) MMP-1, MMP-2, MMP-9, and/or MMP-14 (no data), which play important roles in wound healing. Thus, I are useful as antiscarring treatment for wounds (no data).

IT **438630-46-7P**, (3R)-N-(Benzyloxy)-6-cyclohexyl-3-[4-[(isopropylamino)methyl]-1,3-oxazol-2-yl]hexanamide **438630-48-9P**, (3R)-N-(Benzyloxy)-6-cyclohexyl-3-[4-[(cyclopentylamino)methyl]-1,3-oxazol-2-yl]hexanamide **438630-52-5P**, (3R)-N-(Benzyloxy)-6-cyclohexyl-3-[5-methyl-4-[(tetrahydro-2H-pyran-4-ylamino)methyl]-1,3-oxazol-2-yl]hexanamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

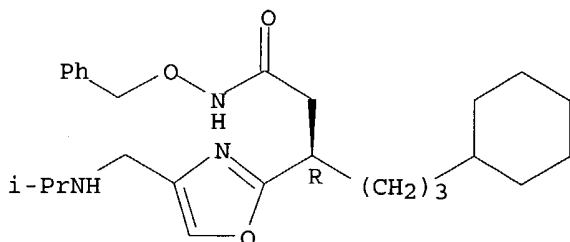
(intermediate; preparation of oxa(di)azolylpropanohydroxamic acid

procollagen c-proteinase inhibitors starting from cycloaddn. of
glutarates and N-hydroxycarboximidamides or L-serine esters)

RN 438630-46-7 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-4-[[[1-methylethyl)amino]methyl]-N-(phenylmethoxy)-, (β R)- (9CI) (CA INDEX NAME)

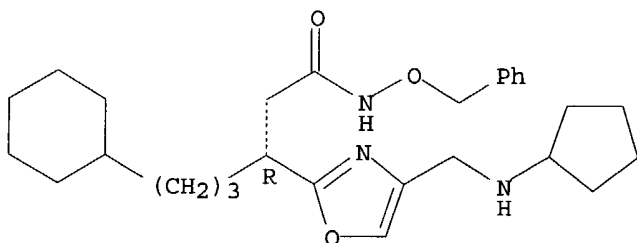
Absolute stereochemistry.



RN 438630-48-9 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-4-[(cyclopentylamino)methyl]-N-(phenylmethoxy)-, (β R)- (9CI) (CA INDEX NAME)

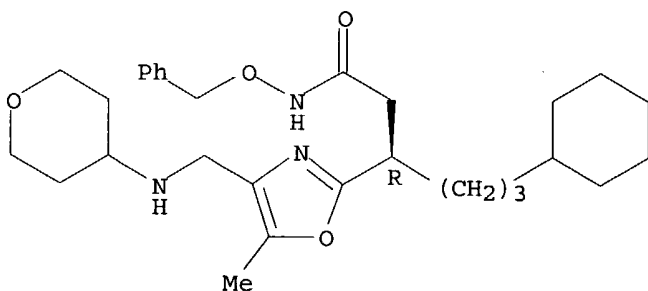
Absolute stereochemistry.



RN 438630-52-5 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-5-methyl-N-(phenylmethoxy)-4-[[[tetrahydro-2H-pyran-4-yl)amino]methyl]-, (β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 438630-45-6P, (3R)-6-Cyclohexyl-N-hydroxy-3-[4-[(isopropylamino)methyl]-1,3-oxazol-2-yl]hexanamide 438630-47-8P

, (3R)-6-Cyclohexyl-3-[4-[(cyclopentylamino)methyl]-1,3-oxazol-2-yl]-N-hydroxyhexanamide **438630-51-4P**, (3R)-6-Cyclohexyl-N-hydroxy-3-[5-methyl-4-[(tetrahydro-2H-pyran-4-ylamino)methyl]-1,3-oxazol-2-yl]hexanamide

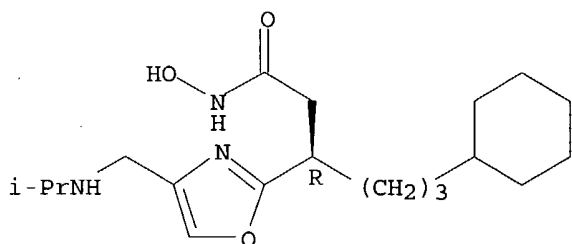
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(procollagen c-proteinase inhibitor; preparation of oxa(di)azolylpropanohydroxamic acid procollagen c-proteinase inhibitors starting from cycloaddn. of glutarates and N-hydroxycarboximidamides or L-serine esters)

RN 438630-45-6 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-N-hydroxy-4-[[1-methylethyl]amino]methyl-, (β R)- (9CI) (CA INDEX NAME)

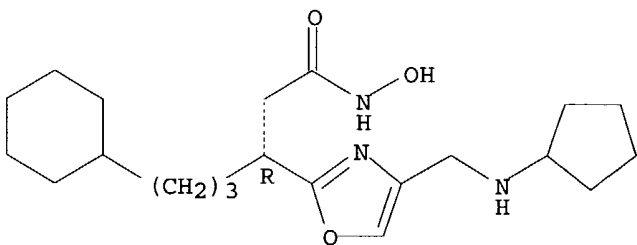
Absolute stereochemistry.



RN 438630-47-8 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-4-[(cyclopentylamino)methyl]-N-hydroxy-, (β R)- (9CI) (CA INDEX NAME)

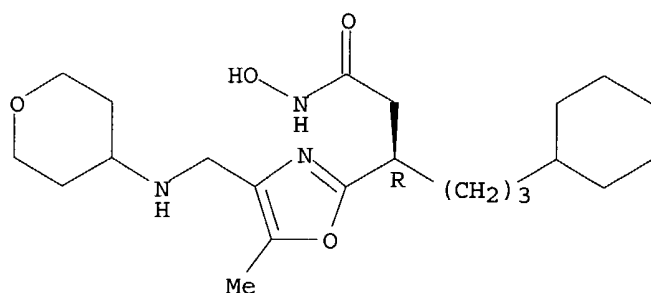
Absolute stereochemistry.



RN 438630-51-4 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-N-hydroxy-5-methyl-4-[[1-methyl-4-[(tetrahydro-2H-pyran-4-ylamino)methyl]-1,3-oxazol-2-yl]amino]methyl-, (β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:489380 CAPLUS

DOCUMENT NUMBER: 135:92633

TITLE: Preparation of oxazolyl- and oxadiazolyl-containing hydroxamic acids useful as procollagen C-proteinase inhibitors

INVENTOR(S): Bailey, Simon; Billotte, Stéphane; Derrick, Andrew Michael; Fish, Paul Vincent; James, Kim; Thomson, Nicholas Murray

PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 188 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047901	A1	<u>20010705</u>	WO 2000-IB1855	20001212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016717	A	20020903	BR 2000-16717	20001212
EP 1240152	A1	<u>20020918</u>	EP 2000-981523	20001212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TR 200201642	T2	20021121	TR 2002-200201642	20001212
JP 2003519133	T2	20030617	JP 2001-549373	20001212
EE 200200358	A	20031015	EE 2002-358	20001212
US 2001021718	A1	20010913	US 2000-735968	20001213
<u>US 6448278</u>	B2	<u>20020910</u>		
NO 2002003058	A	20020823	NO 2002-3058	20020624
BG 106866	A	20030331	BG 2002-106866	20020624
US 2003119807	A1	20030626	US 2002-198710	20020716
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WO 2000-IB1855

W 20001212

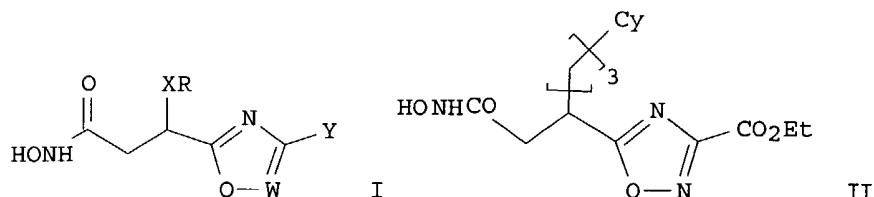
US 2000-735968

A3 20001213

OTHER SOURCE(S):

CASREACT 135:92633; MARPAT 135:92633

GI



AB I (e.g. 5-[(1R)-4-cyclohexyl-1-[2-(hydroxyamino)-2-oxoethyl]butyl]-1,2,4-oxadiazole-3-carboxamide, shown as II) and their salts, solvates, prodrugs, etc., pharmaceutical compns. containing them, methods for their preparation, inhibition of procollagen C-proteinase (PCP) (selective against matrix metalloproteinase-1 (MMP-1) and/or MMP-2 and/or MMP-9 and/or MMP-14) and utility in treatment of conditions mediated by PCP are claimed. In I, X = C1-6 alkylene or C2-6 alkenylene, each of which is optionally substituted by ≥ 1 F atoms; R = aryl or C3-8 cycloalkyl optionally substituted by ≥ 1 F atoms; W = N or CZ; Y and Z each = H, C1-4 alkyl (optionally substituted by ≥ 1 halogen, S(O)pR6, OR5, CONR1R2, CO2R7 and aryl), C1-4 alkanoyl optionally substituted by ≥ 1 halogen, C1-4 alkoxy carbonyl optionally substituted by ≥ 1 halogen, or CONR1R2; R1 and R2 each = H, C3-8 cycloalkyl, C1-4 alkyl (optionally substituted by C3-8 cycloalkyl, aryl, CO2H, CO2R5 and/or NR3R4), or R1 and R2 can be taken together with the N to which they are attached to represent a 4-to 6-membered heterocyclic ring optionally containing 1 or 2 further hetero atoms in the ring = N, O and S, which heterocyclic ring is optionally benzo- or pyrido-fused, and which heterocyclic ring is optionally substituted by C1-4 alkyl, CO2H, CO2R5, aryl and/or NR3R4. R3 and R4 each = H, C1-4 alkyl or C1-4 alkoxy carbonyl optionally substituted by ≥ 1 halogen, or R3 and R4 can be taken together with the N atom to which they are attached to represent a morpholine, piperidine, azetidine or piperazine (optionally N-substituted by C1-4 alkyl) moiety; R5 = C1-4 alkyl optionally substituted by CO2R7 or CONR3R4, or R5 is aryl; R6 = C1-4 alkyl optionally substituted by ≥ 1 halogen, or aryl; R7 = H or R6; p = 0-2; aryl = mono- or bicyclic aromatic carbocyclic or heterocyclic system comprising 5-10 ring atoms, including up to 3 heteroatoms = N, O and S, where, if there is a N atom in the ring, it can be present as the N-oxide, which ring system is optionally substituted by ≤ 3 substituents = halogen, C1-4 alkyl optionally substituted by ≥ 1 more halogen, C1-4 alkoxy optionally substituted by ≥ 1 halogen, Ph, pyridyl, CO2H, CONR3R4, CO2(C1-4 alkyl), NR3R4, OH and OC(O)(C1-4 alkyl). Many of the example compds. had PCP IC50 values ≤ 0.5 μ M and selectivities vs. MMP-2 > 100-fold. Several methods of preparation are claimed and 62 example prepns. are described along with 122 examples of prepns. of intermediates. For example, Et 5-[(1R)-4-cyclohexyl-1-[2-(hydroxyamino)-2-oxoethyl]butyl]-1,2,4-oxadiazole-3-carboxylate was obtained from (3R)-6-cyclohexyl-3-[3-(ethoxycarbonyl)-1,2,4-oxadiazol-5-yl]hexanoic acid (III) in DMF by treatment with O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate followed by reaction with NH2OH·HCl; III was obtained from Et 5-[(1R)-1-[2-(tert-butoxy)-2-oxoethyl]-4-cyclohexylbutyl]-1,2,4-oxadiazole-3-carboxylate by thermal cyclocondensation in xylene.

IT 348623-69-8P 348623-76-7P 348623-77-8P

348624-02-2P 348624-03-3P 348624-04-4P

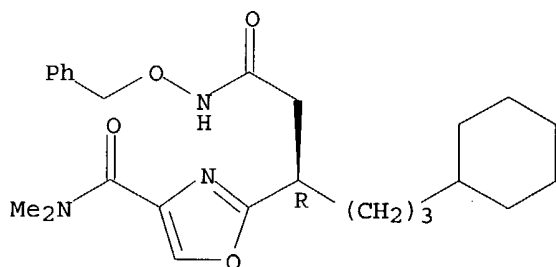
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of oxazolyl- and oxadiazolyl-containing hydroxamic acids useful as procollagen C-proteinase inhibitors for antiscarring medicament)

RN 348623-69-8 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-4-[(dimethylamino)carbonyl]-N-(phenylmethoxy)-, (β R)- (9CI) (CA INDEX NAME)

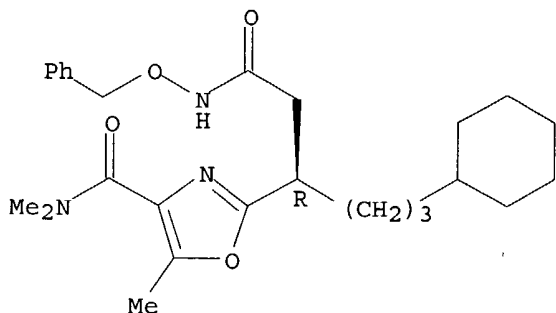
Absolute stereochemistry.



RN 348623-76-7 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-4-[(dimethylamino)carbonyl]-5-methyl-N-(phenylmethoxy)-, (β R)- (9CI) (CA INDEX NAME)

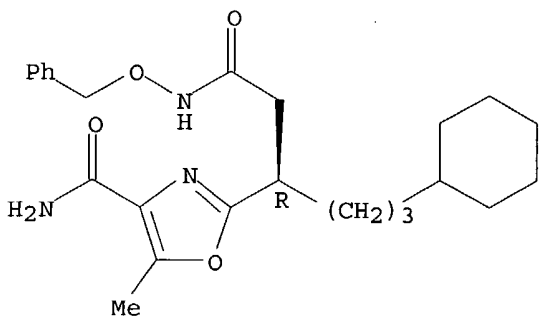
Absolute stereochemistry.



RN 348623-77-8 CAPLUS

CN 2-Oxazolepropanamide, 4-(aminocarbonyl)- β -(3-cyclohexylpropyl)-5-methyl-N-(phenylmethoxy)-, (β R)- (9CI) (CA INDEX NAME)

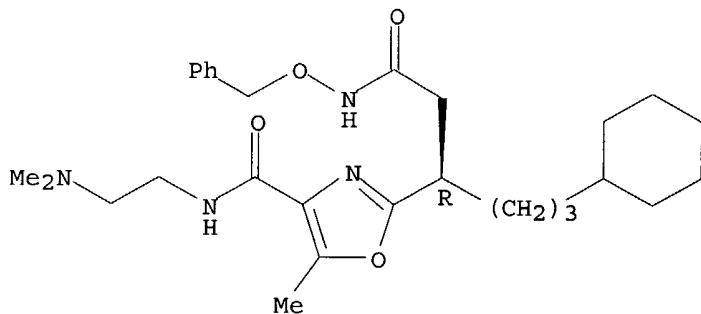
Absolute stereochemistry.



RN 348624-02-2 CAPLUS

CN 2-Oxazolepropanamide, β-(3-cyclohexylpropyl)-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-5-methyl-N-(phenylmethoxy)-, (βR)-(9CI) (CA INDEX NAME)

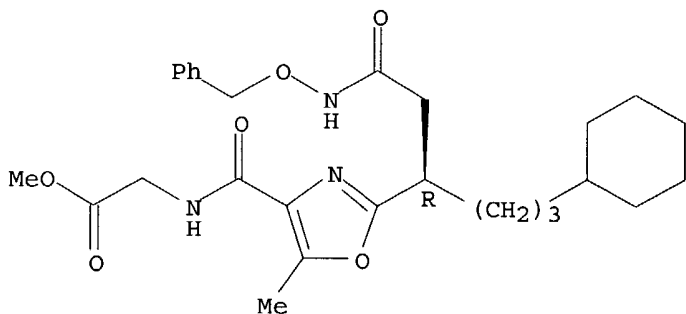
Absolute stereochemistry.



RN 348624-03-3 CAPLUS

CN Glycine, N-[[2-[(1R)-4-cyclohexyl-1-[2-oxo-2-[(phenylmethoxy)amino]ethyl]butyl]-5-methyl-4-oxazolyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

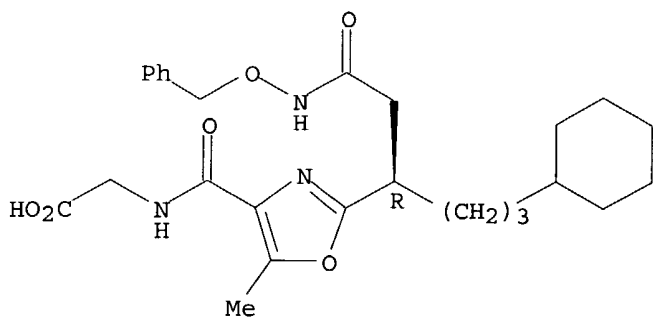
Absolute stereochemistry.



RN 348624-04-4 CAPLUS

CN Glycine, N-[[2-[(1R)-4-cyclohexyl-1-[2-oxo-2-[(phenylmethoxy)amino]ethyl]butyl]-5-methyl-4-oxazolyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 348624-49-7P 348624-51-1P 348624-52-2P

348624-68-0P 348624-69-1P 348624-73-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

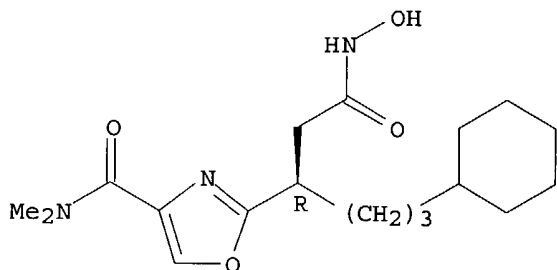
(preparation of oxazolyl- and oxadiazolyl-containing hydroxamic acids useful as

procollagen C-proteinase inhibitors for antiscarring medicament)

RN 348624-49-7 CAPLUS

CN 2-Oxazolepropanamide, β-(3-cyclohexylpropyl)-4-[(dimethylamino)carbonyl]-N-hydroxy-, (βR)- (9CI) (CA INDEX NAME)

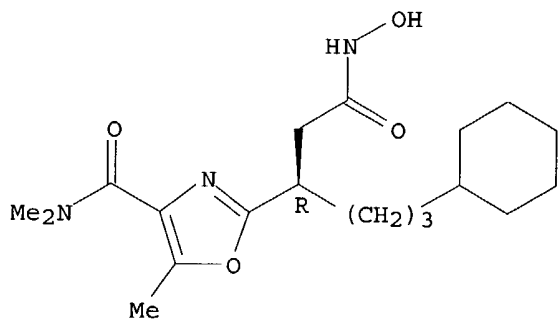
Absolute stereochemistry.



RN 348624-51-1 CAPLUS

CN 2-Oxazolepropanamide, β-(3-cyclohexylpropyl)-4-[(dimethylamino)carbonyl]-N-hydroxy-5-methyl-, (βR)- (9CI) (CA INDEX NAME)

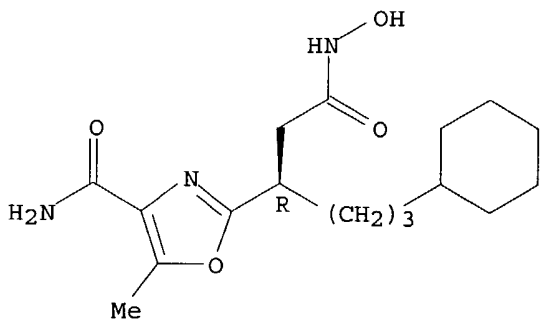
Absolute stereochemistry.



RN 348624-52-2 CAPLUS

CN 2-Oxazolepropanamide, 4-(aminocarbonyl)- β -(3-cyclohexylpropyl)-N-hydroxy-5-methyl-, (BR)- (9CI) (CA INDEX NAME)

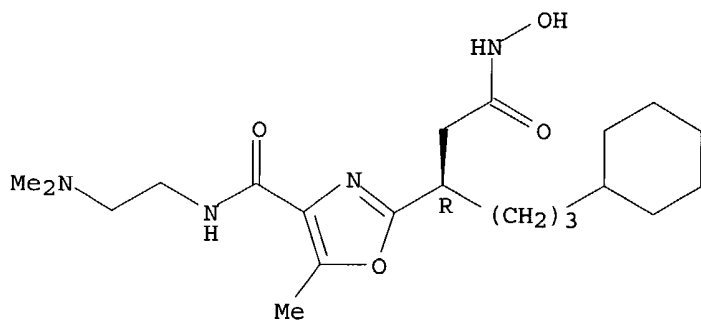
Absolute stereochemistry.



RN 348624-68-0 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclohexylpropyl)-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-N-hydroxy-5-methyl-, (BR)- (9CI) (CA INDEX NAME)

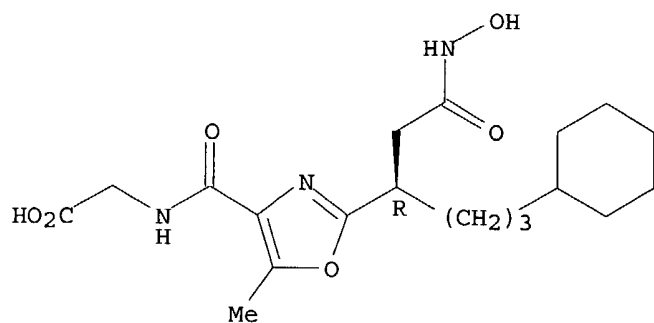
Absolute stereochemistry.



RN 348624-69-1 CAPLUS

CN Glycine, N-[[2-[(1R)-4-cyclohexyl-1-[2-(hydroxyamino)-2-oxoethyl]butyl]-5-methyl-4-oxazolyl]carbonyl]- (9CI) (CA INDEX NAME)

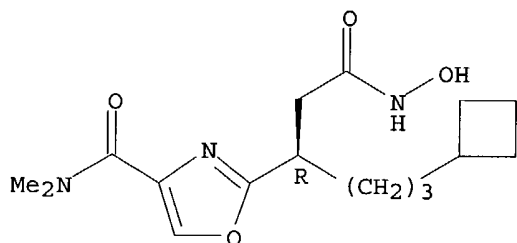
Absolute stereochemistry.



RN 348624-73-7 CAPLUS

CN 2-Oxazolepropanamide, β -(3-cyclobutylpropyl)-4-
[(dimethylamino)carbonyl]-N-hydroxy-, (β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
10.91	635.80

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-1.47	-1.47

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 09:20:42 ON 11 AUG 2004